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US-PAT-NO: 5855913
DOCUMENT-IDENTIFIER: US 5855913 A

TITLE: Particles incorporating surfactants for pulmonary drug delivery

DATE-ISSUED: January 5, 1999

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|---|---|---|---|---|
| Hanes; Justin | Baltimore | MD | | |
| Edwards; David A. | State College | PA | | |
| Evora; Carmen | La Laguna | | | ES |
| Langer; Robert | Newton | MA | | |

US-CL-CURRENT: 424/489; 424/43, 424/434, 424/45, 424/46, 424/499, 424/501, 424/502

CLAIMS:

What is claimed is:

1. A particulate composition for drug delivery to the pulmonary system comprising:

biodegradable particles incorporating a therapeutic, prophylactic or diagnostic agent and a surfactant, wherein the particles have a tap density less than 0.4 g/cm.sup.3 and a mean diameter between 5 .mu.m and 30 .mu.m effective to yield an aerodynamic diameter of the particles of between approximately one and three microns.

2. The system of claim 1 wherein at least 50% of the particles have a mass mean diameter between 5 .mu.m and 30 .mu.m.

3. The composition of claim 1 wherein at least 50% of the particles have a mean diameter between 5 .mu.m and 15 .mu.m and a tap density less than 0.1 g/cm.sup.3.

4. The composition of claim 1 further comprising a pharmaceutically acceptable carrier for administration to the lungs.

5. The composition of claim 1 wherein the particles comprise a biodegradable polymer.

6. The composition of claim 1 wherein the particles comprise a polyester.

7. The composition of claim 1 wherein the particles comprise an excipient or a fatty acid.

8. The composition of claim 1 wherein the particles have an irregular surface structure.

9. The composition of claim 1 wherein the surfactant is coated on the surface of the particle.

10. The composition of claim 1 wherein the surfactant is incorporated within and on the surface of the particle.

11. The composition of claim 1 wherein the therapeutic agent is selected from the group consisting of proteins, polysaccharides, lipids, nucleic acids and combinations thereof.

12. The composition of claim 1 wherein the therapeutic agent is selected from the group consisting of a ribonucleic acid and a deoxyribonucleic acid.

13. The composition of claim 1 wherein the therapeutic agent is selected from the group consisting of insulin, calcitonin, leuprolide and albuterol.

14. The composition of claim 1 wherein the surfactant is selected from the group consisting of a fatty acid, a phospholipid, and a poloxamer.

15. The composition of claim 1 wherein the surfactant is a phosphoglyceride.

16. The composition of claim 1 wherein the surfactant is dipalmitoyl L-.alpha.-phosphatidylcholine.

17. A method for drug delivery to the pulmonary system comprising:

administering to the respiratory tract of a patient in need of treatment an effective amount of biodegradable particles incorporating a therapeutic, prophylactic or diagnostic agent and a surfactant,

wherein the particles have a tap density less than about 0.4 g/cm.sup.3 and a mean diameter of between 5 .mu.m and 30 .mu.m effective to yield an aerodynamic diameter of the particles of between approximately one and three microns.

18. The method of claim 17 wherein at least 50% of the administered particles have a mean diameter between 5 .mu.m and 15 .mu.m.

19. The method of claim 18 wherein at least 50% of the administered particles have a mean diameter between 5 .mu.m and 15 .mu.m and a tap density of less than about 0.1 g/cm.sup.3.

20. The method of claim 17 wherein the particles comprise a biodegradable polymer.

21. The method of claim 17 wherein the particles comprise a polyester.

22. The method of claim 17 wherein the particles comprise an excipient.

23. The method of claim 21 wherein the particles have an irregular surface structure.

24. The method of claim 17 for delivery to the alveolar zone of the lung wherein at least 90% of the particles have a mean diameter between about 9 .mu.m and 11 .mu.m and a tap density less

than 0.1 g/cm.sup.3.

25. The method of claim 17 wherein the therapeutic agent is selected from the group consisting of proteins, polysaccharides, lipids, nucleic acids and combinations thereof.

26. The method of claim 17 wherein the therapeutic agent selected from the group consisting of a ribonucleic acid and a deoxyribonucleic acid.

27. The method of claim 17 wherein the therapeutic agent is selected from the group consisting of insulin, calcitonin, leuprolide and albuterol.

28. The method of claim 17 wherein the particles are administered in combination with a pharmaceutically acceptable carrier for administration to the respiratory tract.

29. The method of claim 17 wherein the surfactant is selected from the group consisting of a fatty acid, a phospholipid, and a poloxamer.

30. The method of claim 17 wherein the surfactant is a phosphoglyceride.

31. The method of claim 17 wherein the surfactant is dipalmitoyl L-.alpha.-phosphatidylcholine.

32. The method of claim 17 wherein the surfactant is coated on the surface of the particle.

33. The method of claim 17 wherein the surfactant is incorporated within and on the surface of the particle.

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L9: Entry 1 of 1 File: USPT Jan 5, 1999

DOCUMENT-IDENTIFIER: US 5855913 A
TITLE: Particles incorporating surfactants for pulmonary drug delivery

Brief Summary Text (14):
Particles incorporating surfactants for drug delivery to the pulmonary system, and methods for their synthesis and administration are provided. Exemplary surfactants include naturally occurring phosphatidylcholines, such as dipalmitoylphosphatidylcholine ("DPPC"). In a preferred embodiment, the particles are aerodynamically light particles, which are made of a biodegradable material, and have a tap density less than 0.4 g/cm.sup.3, as described in U.S. Ser. No. 08/655,570, filed Oct. 29, 1996, the disclosure of which is incorporated herein. The aerodynamically light particles generally have a mean diameter between 5 .mu.m and 30 .mu.m. The particles may be formed of biodegradable materials such as biodegradable polymers, proteins, or other water soluble or non-water soluble materials. Other examples include particles formed of water-soluble excipients, such as trehalose or lactose, or proteins, such as lysozyme or insulin. The particles incorporating a surfactant can be used for enhanced delivery of a therapeutic agent to the airways or the alveolar region of the lung. The particles may be effectively aerosolized for administration to the respiratory tract to permit systemic or local delivery of a wide variety of therapeutic agents. They also optionally may be co-delivered with larger carrier particles, not carrying a therapeutic agent, having, for example, a mean diameter ranging between about 50 .mu.m and 100 .mu.m.